STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 126633

TO: Devesh Khare
Location: REM-5C35/5C18
Art Unit: 1623
Tuesday, July 13, 2004

Case Serial Number: 10/614298

From: Mary Jane Ruhl
Location: Biotech-Chem Library
Remsen 1-A-62
Phone: 571-272-2524

maryjane.ruhl@uspto.gov

Search Notes

Examiner Khare,

Here are the results for your recent search request.

Please feel free to contact me if you have any questions about these results.

Thank you for using STIC services. We appreciate the opportunity to serve you.

Sincerely,

Mary Jane Ruhl
Technical Information Specialist
STIC
Remsen 1-A-62
Ext. 22524

STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact***:

Mary Hale, Information Branch Supervisor
Remsen Bldg. 01 D86
571-272-2507

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

  Types of relevant prior art found:
  - ☐ Foreign Patent(s)
  - ☐ Non-Patent Literature
    (journal articles, conference proceedings, new product announcements etc.)
- *Relevant prior art **not found**:*
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC-Biotech-Chem Library Remsen Bldg.

126633

Access DB#_____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's full Name: Devesh Khare Examiner #: 77931 Date: 07/08/2004

Art Unit: 1623 Phone Number 272-0653 Serial Number: 10/614,298

Mail Box: Remsen 5C18 and Bldg/Room Location: 5C35 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be search Include the elected species or structures, key words, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: See Bib Data Sheet on e-dan.

Inventors (please provide full names): See Bib Data Sheet on e-dan.

Earliest priority Filing Date: **7-08-2003**

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please carry out a search on the following claims:

Please see the attached sheet for the claims.

Thank you.

Thank you.

STAFF USE ONLY

Searcher:_____
Searcher Phone #:_____
Searcher Location:_____
Date Searcher Picked Up:_____
Date Completed:_____
Searcher Prep & Review Time:_____
Clerical prep time:_____
Online Time:

Type of Search

NA Sequence (#)_____
AA Sequence (#)_____
Structure (#) _____
Bibliographic _____
Litigation _____
Fulltext _____
Patent Family_____
Other

Vendors and cost where applicable

STN_____
Dialog_____
Questel/Orbit_____
Dr. Link_____
Lexis/Nexis_____
Sequence Systems_____
WWW/Internet_____
Other (specify)

14. A pharmaceutical composition for treating otitis media and otitis externa, comprising pharmaceutically effective amounts of a local anaesthetic agent, an antimicrobial agent, an anti-inflammatory agent, and an integrator.

15. The pharmaceutical composition of claim 14 further comprising an anti-caking agent to prevent caking of the pharmaceutical mixture.

16. The pharmaceutical composition of claim 15, wherein the anti-caking agent is lactose powder.

17. The pharmaceutical composition of claim 14, wherein the local anaesthetic is norcain powder.

18. The pharmaceutical composition of claim 14, wherein the antimicrobial agent is [4-chlorphenyl]-3,4-dichlor-benzol-sulfonamidum powder.

19. The pharmaceutical composition of claim 14, wherein the anti-inflammatory agent is boric acid powder.

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=> d his ful

     FILE 'REGISTRY' ENTERED AT 10:25:03 ON 13 JUL 2004
                  E LACTOSE/CN
L1                1 SEA ABB=ON  LACTOSE/CN
                  E NORCAIN/CN
L2                1 SEA ABB=ON  NORCAIN/CN
                  E SULFONAMID/CN
L3                1 SEA ABB=ON  SULFONAMIDE/CN
                  E BORIC ACID/CN
L4                2 SEA ABB=ON  "BORIC ACID"/CN
L5                0 SEA ABB=ON  L1 AND L2 AND L3 AND L4

     FILE 'HCAPLUS' ENTERED AT 10:25:56 ON 13 JUL 2004
L6              299 SEA ABB=ON  (L1 OR ?LACTOSE?) AND (?CAKE? OR ?CAKING?)
L7             1045 SEA ABB=ON  (L2 OR ?NORCAIN?) AND ?ANESTH?
L8             1610 SEA ABB=ON  (L3 OR ?SULFONAMID?) AND ?MICROB?
L9              189 SEA ABB=ON  (L4 OR ?BORIC?(W)?ACID?) AND ?INFLAM?
L10               0 SEA ABB=ON  L6 AND L7 AND L8 AND L9
L11               0 SEA ABB=ON  L6 AND L7 AND L8
L12               0 SEA ABB=ON  L6 AND L8 AND L9
L13            3138 SEA ABB=ON  L6 OR L7 OR L8 OR L9
L14              69 SEA ABB=ON  L13 AND (?OTITIS? OR EAR?)
L15              22 SEA ABB=ON  L14 NOT (EARLY OR EARLIER OR EARTH)
L16               0 SEA ABB=ON  L7 AND L8 AND L9
L17               0 SEA ABB=ON  L8 AND L6
L18               2 SEA ABB=ON  L8 AND L7
L19               0 SEA ABB=ON  L8 AND L9
L20              24 SEA ABB=ON  L15 OR L18
L21              24 SEA ABB=ON  L20 AND (PD<20030708 OR PRD<20030708)
     FILE 'MEDLINE, BIOSIS, EMBASE, JAPIO, JICST-EPLUS' ENTERED AT 10:34:14 ON
     13 JUL 2004
L22             129 SEA ABB=ON  L20
L23             107 DUP REMOV L22 (22 DUPLICATES REMOVED)
L24              87 SEA ABB=ON  L23 AND ?SULFONAMID?
L25               0 SEA ABB=ON  L24 AND ?LACTOSE?
L26               0 SEA ABB=ON  L24 AND BORIC(W) ACID
L27               0 SEA ABB=ON  L24 AND NORCAIN?
                  SAV L24 KHA298L24/A
```

no hits for all substances used together

22 hits containing one of the substances and "otitis" (negated false associations from "ear?" search)

24 hits for otitis or ear with one of the substances - attached

which included otitis + ear

saved, should you want to see any of them.

0 hits for combinations of substances

Please let me know if you require info about the sulfonamide compd.

Thank you.

Mary Jane

No prior work by inventor was located.

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=> d que stat l21
L1             1 SEA FILE=REGISTRY ABB=ON  LACTOSE/CN
L2             1 SEA FILE=REGISTRY ABB=ON  NORCAIN/CN
L3             1 SEA FILE=REGISTRY ABB=ON  SULFONAMIDE/CN
L4             2 SEA FILE=REGISTRY ABB=ON  "BORIC ACID"/CN
L6           299 SEA FILE=HCAPLUS ABB=ON  (L1 OR ?LACTOSE?) AND (?CAKE? OR
                 ?CAKING?)
L7          1045 SEA FILE=HCAPLUS ABB=ON  (L2 OR ?NORCAIN?) AND ?ANESTH?
L8          1610 SEA FILE=HCAPLUS ABB=ON  (L3 OR ?SULFONAMID?) AND ?MICROB?
L9           189 SEA FILE=HCAPLUS ABB=ON  (L4 OR ?BORIC?(W)?ACID?) AND ?INFLAM?

L13         3138 SEA FILE=HCAPLUS ABB=ON  L6 OR L7 OR L8 OR L9
L14           69 SEA FILE=HCAPLUS ABB=ON  L13 AND (?OTITIS? OR EAR?)
L15           22 SEA FILE=HCAPLUS ABB=ON  L14 NOT (EARLY OR EARLIER OR EARTH)
L18            2 SEA FILE=HCAPLUS ABB=ON  L8 AND L7
L20           24 SEA FILE=HCAPLUS ABB=ON  L15 OR L18
L21           24 SEA FILE=HCAPLUS ABB=ON  L20 AND (PD<20030708 OR PRD<20030708)
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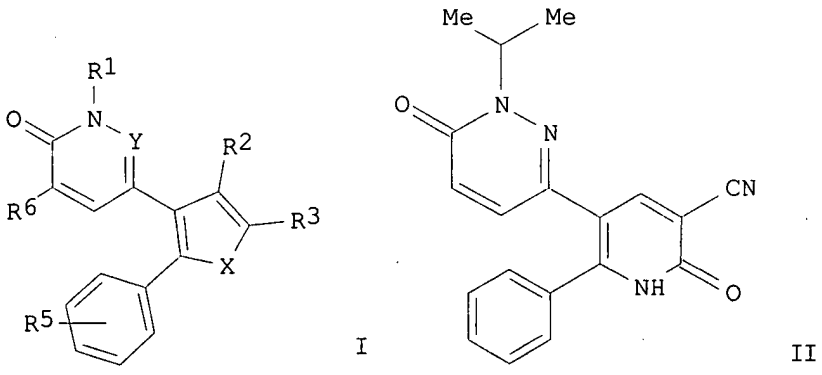
=> d ibib abs hitrn l21 1-24

L21 ANSWER 1 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:220316 HCAPLUS
DOCUMENT NUMBER: 140:253567
TITLE: Preparation of pyridones and pyridazinones as adenosine antagonists and pharmaceutical use thereof
INVENTOR(S): Tabuchi, Seiichiro; Tsutsumi, Hideo; Sato, Yoshinari; Akahane, Atsushi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022540	A2	20040318	WO 2003-JP11271	20030903 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004067955	A1	20040408	US 2003-653129	20030903 <--

PRIORITY APPLN. INFO.: AU 2002-951245 A 20020906 <--
AU 2002-952245 A 20021024 <--

OTHER SOURCE(S): MARPAT 140:253567
GI



I II

AB Pyridazinones or pyridones (shown as I; variables defined below; e.g. II) or a salt thereof are adenosine antagonists and are useful for the prevention and/or treatment of depression, dementia (e.g. Alzheimer's disease, cerebrovascular dementia, dementia accompanying Parkinson's

disease, etc.), Parkinson's disease, anxiety, pain, cerebrovascular disease (e.g. stroke, etc.), heart failure and the like. Methods of preparation are claimed and .apprx.160 example prepns. of I and 19 of intermediates are included. For example, II was prepared by cyclizing 6-[(E)-1-benzoyl-2-(dimethylamino)ethenyl]-2-isopropyl-3(2H)-pyridazinone with 2-cyanoacetamide. For I: X is -NHC(O)-, -N:C(R4)-; Y is N or CH; R1 is H or (un)substituted lower alkyl; R2 is H or halogen; R3 is H, lower alkyl, lower alkoxy, halogen, hydroxy, cyano, amino, carbamoyl, thiocarbamoyl, aryl, acyl, acylamino or heterocyclic group, each of which may be (un)substituted; R4 is H, lower alkyl, lower alkoxy, halogen, hydroxy, cyano, amino, carbamoyl, acyl, acylamino or -A-R7 wherein A is -CH:CH- or -CH:N-, and R7 is lower alkyl, lower alkoxy, hydroxy, cyano, acyl, aryl(lower)alkoxy or acyloxy, each of which may be (un)substituted; R5 is H, lower alkyl, lower alkoxy, halogen, hydroxy, each of which may be (un)substituted; and R6 is H or halogen. A1 and A2 adenosine receptor binding (Ki, nM) by 8 examples of I are tabulated; 5 of these I were also tested for anticatalepsy activity in mice.

L21 ANSWER 2 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:18507 HCAPLUS
DOCUMENT NUMBER: 140:229407
TITLE: Method for treating chronic **inflammation** of trepanation cavity after radical operation upon middle **ear**
INVENTOR(S): Semenov, F. V.; Perekhoda, D. L.
PATENT ASSIGNEE(S): Russia
SOURCE: Russ., No pp. given
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2216347	C1	20031120	RU 2002-111057	20020424 <--

PRIORITY APPLN. INFO.: RU 2002-111057 20020424 <--

AB The present invention relates to a method of treatment of **inflamation** in the trepanation cavity after middle **ear** surgery and comprises application of papain (20 mg/mL physiol. solution) for cleaning the cavity, three times a day for 5 d, with 15-20 min exposure time. Curiosin preparation is also applyed to improve the cavitary tissue regeneration, at 1-2 mL dose twice daily, 15-20 min. exposure time. The treatment is performed on the background of bacteriol. and cytol. control and, according to the values obtained, the therapeutic course is repeated. Higher efficiency and shortened terms of therapy in otorhinolaryngol. can be achieved by the application of the proposed treatment method.

IT **10043-35-3, Boric acid**, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiseptic and antibacterial therapy for treating chronic **inflammation** of trepanation cavity after middle **ear** surgery)

L21 ANSWER 3 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:445636 HCAPLUS
DOCUMENT NUMBER: 140:31574
TITLE: Spectrofluorometric determination of certain local **anesthetics**
AUTHOR(S): Mohamed, Abd El-Maaboud I.; El-Shabouri, Salwa R.;

Abdel-Wadood, Hanaa M.; Ali, Hassan R. H.
CORPORATE SOURCE: Department of Analytical Pharmaceutical Chemistry, Faculty of Pharmacy, Assiut University, Assiut, Egypt
SOURCE: Bulletin of the Faculty of Science, Assiut University, B: Chemistry (**2002**), 31(2), 39-57
CODEN: BFSAE6; ISSN: 1010-2671
PUBLISHER: Assiut University
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A simple and rapid spectrofluorometric method for the determination of five local **anesthetics** namely benzocaine, benoxinate hydrochloride, butacaine sulfate, procaine hydrochloride, and propoxycaine hydrochloride as single entities was developed. The method is based on the enhancement of the native fluorescence of the drugs via the formation of inclusion complexes with β-cyclodextrin (β-CD) or micellar complexes with cationic compds. such as cetylpyridinium chloride (CPC) and anionic compds. such as SDS. A greater enhancement in the fluorescence intensity was observed when some selected solvents such as DMF and DMSO were used alone or in presence of the previously mentioned compds. The linear range for the 1st 4 drugs were 1-20 ng mL-1 for the first four drugs and 50-500 ng mL-1 for propoxycaine hydrochloride. The detection limits ranged 0.22-1.17 ng mL-1 for first four drugs and from 14 to 28 ng mL-1 for propoxycaine hydrochloride. The reproducibility and recovery of the method were excellent. The proposed procedures were applied successfully to the determination of studied drugs in some com. available pharmaceutical prepns. The results were comparable to those obtained by official and reported methods. Correlation between the relative fluorescence intensities of the studied drugs and some bulkiness parameters was also described.
IT **94-09-7**, Benzocaine
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(spectrofluorometric determination of local **anesthetics**)
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 4 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:508154 HCAPLUS
DOCUMENT NUMBER: 133:125293
TITLE: Compositions to treat **ear** disorders
INVENTOR(S): Petrus, Edward J.
PATENT ASSIGNEE(S): Advanced Medical Instruments, USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6093417	A	20000725	US 1999-228119	19990111 <--

PRIORITY APPLN. INFO.: US 1999-228119 19990111 <--
AB Disclosed is a topical **ear** composition that uses penetration enhancers to diffuse the therapeutic agents through the tympanic membrane into the middle and inner **ear** for the purpose of reducing the inflammation of **ear** tissues, providing pain relief, and introducing agents with **antimicrobial** activity to combat infection. The composition reduces swelling of the lining membranes of the middle and inner **ear**, prevent the destructive effects of

inflammation, inhibit the production of prostaglandins, reduce symptoms of tinnitus and vertigo, improve and prevent paralysis of the facial nerve, relieve labyrinthitis, and prevent hearing loss. The composition preferably contains glycerol as a penetration enhancer 85-90, lidocaine as an anesthetic 1-5, and a zinc salt, e.g. zinc sulfate, zinc chloride, and zinc acetate, etc. 1-10 %.

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

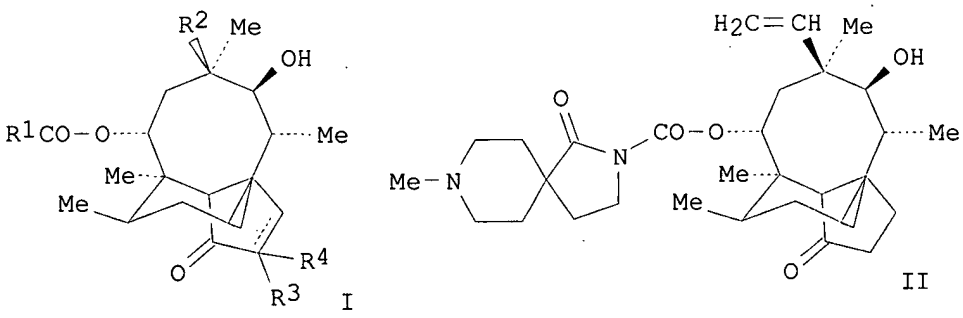
L21 ANSWER 5 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:335361 HCAPLUS
DOCUMENT NUMBER: 132:334647
TITLE: Preparation of mutilin compounds as antibacterial agents
INVENTOR(S): Dabbs, Steven; Davies, Susannah; Dean, David Kenneth; Frydrych, Colin Henry; Gaiba, Alessandra; Howard, Steven; Hunt, Eric; King, Francis David; Naylor, Antoinette; Takle, Andrew Kenneth
PATENT ASSIGNEE(S): SmithKline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027790	A1	20000518	WO 1999-EP8705	19991109 <--

W: CA, JP, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: GB 1998-24781 A 19981111 <--
GB 1998-27830 A 19981217 <--
GB 1998-27880 A 19981217 <--

OTHER SOURCE(S): MARPAT 132:334647
GI



AB Mutilin compds. of formula I [R1 = RA(CH2)nO(CH2)m, RA(CH2)p, spiro-fused mono- or bi-cyclic ring containing one or two basic nitrogen atoms, etc.; RA = aryl, heteroaryl; n = 0-2; m = 1-3; p = 1-4; R2 = vinyl, Et; R3 = H, OH, F; R4 = H, F] are prepared for treating **microbial** infections in animals, especially in humans and in domesticated mammals. Thus, II is prepared from Et piperidine-4-carboxylate and (3R)-3-deoxo-11-deoxy-3-methoxy-11-

oxo-4-epimutilin 14-chloroformate in several steps. The compds. prepared were tested for antibacterial activity and found to have MICs in the range of 0.06-32 μg/mL against Staph Aureus Oxford and 0.06-64 μg/mL against Strep Pneumoniae.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 6 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:231503 HCAPLUS
DOCUMENT NUMBER: 130:272004
TITLE: Nicotine compositions and methods of formulation thereof
INVENTOR(S): Andersson, Sven Borje; Jonn, Stefan; Landh, Tomas
PATENT ASSIGNEE(S): Pharmacia & Upjohn AB, Swed.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9915171	A1	19990401	WO 1998-SE1632	19980915	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2304042	AA	19990401	CA 1998-2304042	19980915	<--
AU 9892872	A1	19990412	AU 1998-92872	19980915	<--
AU 733619	B2	20010517			
EP 1023069	A1	20000802	EP 1998-945685	19980915	<--
EP 1023069	B1	20031105			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
BR 9815395	A	20001114	BR 1998-15395	19980915	<--
EE 200000154	A	20010215	EE 2000-200000154	19980915	<--
JP 2001517624	T2	20011009	JP 2000-512540	19980915	<--
RU 2201747	C2	20030410	RU 2000-110632	19980915	<--
CN 1123343	B	20031008	CN 1998-810645	19980915	<--
AT 253362	E	20031115	AT 1998-945685	19980915	<--
PT 1023069	T	20040227	PT 1998-945685	19980915	<--
ZA 9808794	A	19990401	ZA 1998-8794	19980925	<--
FI 2000000692	A	20000324	FI 2000-692	20000324	<--
NO 2000001540	A	20000525	NO 2000-1540	20000324	<--
US 2003176467	A1	20030918	US 2003-389148	20030314	<--

PRIORITY APPLN. INFO.:
SE 1997-3458 A 19970925 <--
WO 1998-SE1632 W 19980915 <--
US 2000-509437 B1 20000612 <--

AB Polar lipid formulations of nicotine in liquid crystals and colloidal dispersions are claimed as a controlled release matrix for nicotine for use in e.g. smoking cessation and/or replacement therapies. Compns. of said liquid crystals or dispersions contain nicotine and anti-irritants or a local analgesic, or any combination of these to reduce local irritation of nicotine and mask its taste. Compns. are formulated as a nasal spray or gel, a buccal spray, a chewing gum, a tablet, a lozenge, a transdermal

patch, adhesive or gel, a buccal patch, adhesive or gel, or a spray or an aerosol for administration to the lungs. Nicotine 1, glyceryl monooleate 2, oleic acid 1, benzocaine 1, and water 95% by weight were mixed and allowed to form a hexagonal liquid crystalline phase and a stable colloidal dispersion. The composition is dropable and sprayable using a standard device for nasal administration of nicotine. The composition is applicable in tobacco substitution, replacement and cessation therapies.

IT **94-09-7**, Benzocaine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nicotine controlled-release lipid formulations containing local analgesics)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 7 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:109733 HCAPLUS
DOCUMENT NUMBER: 130:129965
TITLE: Pharmaceutical reparations or treating **ear inflammations** containing **boric acid** and potassium alum
INVENTOR(S): Beyens, Tanguy
PATENT ASSIGNEE(S): Fr.
SOURCE: Fr. Demande, 4 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2764512	A1	19981218	FR 1997-7749	19970613 <--
FR 2764512	B3	19990827		

PRIORITY APPLN. INFO.: FR 1997-7749 19970613 <--

AB Pharmaceutical reparations for treating **ear inflammations** in animals contain equal amount of **boric acid** and potassium alum. It may also contain an antibiotic, and **inflammation** inhibitor and an antiseptic (no data).

IT **10043-35-3**, **Boric acid**, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical reparations or treating **ear inflammations** containing **boric acid** and potassium alum)

L21 ANSWER 8 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:764106 HCAPLUS
DOCUMENT NUMBER: 130:7438
TITLE: Compositions containing difluprednate
INVENTOR(S): Masako, Kimura; Shin-ichi, Yasueda; Masazumi, Yamaguchi; Katsuhiro, Inada
PATENT ASSIGNEE(S): Senju Pharmaceutical Co., Ltd., Japan; Mitsubishi Chemical Corporation
SOURCE: Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 878197	A1	19981118	EP 1998-108611	19980512 <--
EP 878197	B1	20020821		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6114319	A	20000905	US 1998-76124	19980512 <--
CA 2237503	AA	19981114	CA 1998-2237503	19980513 <--
JP 11029483	A2	19990202	JP 1998-129908	19980513 <--
JP 3410364	B2	20030526		
CN 1200926	A	19981209	CN 1998-109772	19980514 <--

PRIORITY APPLN. INFO.: JP 1997-124415 A 19970514 <--

AB The present invention relates to a liquid composition comprising difluprednate, oil, water and an emulsifier. The composition of the present invention has superior **antiinflammatory** action and antiallergic action. The composition of the present invention shows superior transfer to a lesion and uniform drug distribution upon administration, as compared to conventional prepns. containing difluprednate, so that it shows sufficient efficacy in a smaller dose. The inventive composition is associated with extremely less uncomfortable feeling and foreign sensation upon administration, as compared to conventional prepns. containing difluprednate, and it can be administered easily to local sites of eye, nose, **ear** and the like. A composition was prepared containing difluprednate 0.05, castor oil 5.0, Polysorbate 80 4.0, concentrated glycerol 2.0, Na acetate 0.01, **boric acid** 0.1, Na edetate 0.02, sorbic acid 0.1 g, NaOH and water to 100 mL and pH 6.0.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 9 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:107416 HCAPLUS
DOCUMENT NUMBER: 126:122469
TITLE: Method and composition for topical therapy of inner **ear** and labyrinth symptoms
INVENTOR(S): Liedtke, Rainer K.
PATENT ASSIGNEE(S): Liedtke Pharmed GmbH, Germany
SOURCE: Ger. Offen., 3 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19524691	A1	19970109	DE 1995-19524691	19950706 <--
EP 755678	A1	19970129	EP 1996-109709	19960617 <--
EP 755678	B1	20030102		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 230261	E	20030115	AT 1996-109709	19960617 <--
ES 2189842	T3	20030716	ES 1996-109709	19960617 <--
US 5863941	A	19990126	US 1996-679438	19960708 <--

PRIORITY APPLN. INFO.: DE 1995-19524691 A 19950706 <--

AB Periauricular topical carrier systems containing local **anesthetics** (e.g. lidocaine) are useful for noninvasive topical treatment of **ear** noises, dizziness, balance disorders, and nausea.

IT **94-09-7**, Benzocaine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method and composition for topical therapy of inner **ear** and labyrinth symptoms)

L21 ANSWER 10 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:365897 HCAPLUS
DOCUMENT NUMBER: 125:19086
TITLE: Ophthalmic and aural compositions containing diclofenac potassium
INVENTOR(S): Sallmann, Alfred; Kis, Gyoergy Lajos; Blum, Wolfgang; Huxley, Alica
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9611003	A1	19960418	WO 1995-EP3844	19950928	<--
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN					
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2201134	AA	19960418	CA 1995-2201134	19950928	<--
AU 9536097	A1	19960502	AU 1995-36097	19950928	<--
EP 785780	A1	19970730	EP 1995-933437	19950928	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
IL 115479	A1	20001031	IL 1995-115479	19951002	<--
ZA 9508488	A	19960311	ZA 1995-8488	19951009	<--
US 5891913	A	19990406	US 1997-809434	19970827	<--
US 6107343	A	20000822	US 1998-223198	19981230	<--

PRIORITY APPLN. INFO.:
EP 1994-810589 A 19941010 <--
EP 1995-810574 A 19950918 <--
WO 1995-EP3844 W 19950928 <--
US 1997-809434 A3 19970827 <--

AB The present invention describes an ophthalmic composition comprising diclofenac potassium, the use of said composition as medicament for treating **inflammatory** conditions of the eye, for treating glaucoma or for treating **ear inflammatory** and/or painful condition (**otitis**); as well as the use of diclofenac potassium in the preparation of a pharmaceutical composition for treating any **inflammatory** condition of the eye, for treating glaucoma or for treating **ear inflammatory** and/or painful conditions (**otitis**).

IT **10043-35-3, Boric acid**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ophthalmic and aural compns. containing diclofenac potassium)

L21 ANSWER 11 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:115215 HCAPLUS
DOCUMENT NUMBER: 124:156009
TITLE: Formulation of an ophthalmic solution based on diclofenac and tobramycin
INVENTOR(S): Lopez Cabrera, Antonip; Torrella Cabello, Gemma;

Vallet Mas, Jose Alberto; Bergamini, Michael Van Wie
PATENT ASSIGNEE(S): Laboratorios Cusi, S.A., Spain
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9531179	A1	19951123	WO 1994-ES84	19940907	<--
W: AU, BG, BR, CA, CN, FI, HU, JP, KR, NO, NZ, PL, RO, RU, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
ES 2079320	A1	19960101	ES 1994-1078	19940517	<--
ES 2079320	B1	19961016			
AU 9476160	A1	19951205	AU 1994-76160	19940907	<--
AU 696853	B2	19980917			
EP 711546	A1	19960515	EP 1994-926248	19940907	<--
EP 711546	B1	20010103			
R: AT, BE, CH, DE, DK, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
BR 9407330	A	19960618	BR 1994-7330	19940907	<--
CN 1130351	A	19960904	CN 1994-193294	19940907	<--
CN 1098678	B	20030115			
HU 74164	A2	19961128	HU 1996-95	19940907	<--
AT 198417	E	20010115	AT 1994-926248	19940907	<--
PT 711546	T	20010629	PT 1994-926248	19940907	<--
CA 2167383	C	20011120	CA 1994-2167383	19940907	<--
US 5597560	A	19970128	US 1995-419387	19950410	<--
FI 9600232	A	19960315	FI 1996-232	19960117	<--
NO 9600207	A	19960315	NO 1996-207	19960117	<--
HK 1017806	A1	20010720	HK 1998-113200	19981211	<--
GR 3035633	T3	20010629	GR 2001-400478	20010323	<--

PRIORITY APPLN. INFO.: ES 1994-1078 A 19940517 <--
WO 1994-ES84 W 19940907 <--

AB The ophthalmic solution comprises (a) the equivalent to 0.001-0,14% of diclofenac obtained from diclofenac itself or an isomer, or a derivative or one of the pharmaceutically acceptable salts thereof; (b) the equivalent to a value of 0.001-0.45% of tobramycin, obtained from tobramycin itself or from an isomer or a derivative or one of its pharmaceutically acceptable salts thereof; (c) optionally a solubilizer, an isotonizer, a pH damper, a thickening agent, a chelator, a preserving agent, and/or an excipient for pharmaceutical hydrogels. These have applications in the treatment of eye and **ear inflammations** and/or infections [no data].

IT **10043-35-3, Boric acid**, biological studies
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(formulation of an ophthalmic solution based on diclofenac and tobramycin)

L21 ANSWER 12 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:93773 HCAPLUS
DOCUMENT NUMBER: 118:93773
TITLE: Ester hydrolysis and conjugation reactions in intact skin and skin homogenate and by liver esterase of rabbits
AUTHOR(S): Henrikus, B. M.; Kampffmeyer, H. G.
CORPORATE SOURCE: Med. Fak., Ludwig-Maximilians-Univ., Munich, D-8000/2, Germany

SOURCE: Xenobiotica (**1992**), 22(12), 1357-66
CODEN: XENOBH; ISSN: 0049-8254
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Procaine, 2-chloroprocaine, Et aminobenzoate and Me salicylate were added at various concns. to liver esterase, supernatant of skin homogenate, or single-pass perfused **ears** of rabbits. Vmax Of product formation by purified liver esterase correlated with the rank order of the distribution coeffs. (n-octanol/buffer) of the substrates and ranged between 11 and 1100 pmol/min per μg protein. Km Values were between 20 and 50 μm. No correlation was observed when the apparent enzyme kinetics, calculated by nonlinear adaptation, were compared with each other after substrate administration to skin, arterial influx, or incubation with skin homogenate. An acid labile conjugate of Et 4-aminobenzoate was found, mainly during arterial perfusion and in supernatant of skin homogenate, after administration to skin, arterial influx, or incubation with skin homogenate. An acid labile conjugate of Et 4-aminobenzoate was found, mainly during arterial perfusion and in supernatant of skin homogenate, after administration of Et 4-aminobenzoate. Acetamidobenzoic acid was observed in quantities of about 10% of the free 4-aminobenzoic acid during dermal or arterial application of procaine. This metabolite was not found with Et 4-aminobenzoate. The results from isolated rabbit **ear** perfusion differ quant. and qual. with those obtained from supernatant of skin homogenate or purified liver esterase.

IT **94-09-7**, Ethyl 4-aminobenzoate
RL: RCT (Reactant); RACT (Reactant or reagent)
(ester hydrolysis of, in skin)

L21 ANSWER 13 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:639562 HCAPLUS
DOCUMENT NUMBER: 117:239562
TITLE: Status of certain over-the-counter drug category II and III active ingredients. [Erratum to document cited in CA114(10):88452e]
CORPORATE SOURCE: United States Food and Drug Administration, Rockville, MD, 20857, USA
SOURCE: Federal Register (**1992**), 57(191), 45295, **1 Oct 1992**
CODEN: FEREAC; ISSN: 0097-6326
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An error in the text has been corrected The errors was not reflected in the abstract or the index entries.

IT **94-09-7**
RL: BIOL (Biological study)
(of over-the-counter drugs, stds. for (Erratum))

L21 ANSWER 14 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:455740 HCAPLUS
DOCUMENT NUMBER: 117:55740
TITLE: Status of certain over-the-counter drug category II and III active ingredients. [Erratum to document cited in CA114(10):88452e]
CORPORATE SOURCE: United States Food and Drug Administration, Rockville, MD, 20857, USA
SOURCE: Federal Register (**1992**), 57(20), 3526, **30 Jan 1992**
CODEN: FEREAC; ISSN: 0097-6326
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Errors in the names of several active ingredients listed in the original article have been corrected The errors were reflected in the index entries.
IT **94-09-7**
RL: BIOL (Biological study)
(of over-the-counter drugs, stds. for (Erratum))

L21 ANSWER 15 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:88452 HCAPLUS
DOCUMENT NUMBER: 114:88452
TITLE: Status of certain over-the-counter drug category II and III active ingredients
CORPORATE SOURCE: United States Food and Drug Administration, Rockville, MD, 20857, USA
SOURCE: Federal Register (**1990**), 55(216), 46914-21, **7 Nov 1990**
CODEN: FEREAC; ISSN: 0097-6326
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Certain active ingredients in over-the-counter drug products are not generally recognized as safe and effective under the Federal Food, Drug, and Cosmetic Act. Categories considered include products to control or prevent acne, caries, diarrhea, perspiration, boils, colds, coughs, allergies, dandruff, seborrheic dermatitis, psoriasis, digestion, exocrine pancreatic insufficiency, ingrown toenails, poisoning, smoking, swimmer's **ear**, and nailbiting. Analgesics, **anesthetics**, counterirritants, male genital desensitizers, laxatives, oral health care products, and skin care products are also considered.
IT **94-09-7**, Benzocaine
RL: BIOL (Biological study)
(of over-the-counter drugs, stds. for)

L21 ANSWER 16 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:503424 HCAPLUS
DOCUMENT NUMBER: 113:103424
TITLE: Pharmaceutical implants containing antibiotics for animals
INVENTOR(S): Burger, Andries Petrus; Nel, Johannes Christoffel
PATENT ASSIGNEE(S): S. Afr.
SOURCE: S. African, 14 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 8809601	A	19891025	ZA 1988-9601	19881222 <--
PRIORITY APPLN. INFO.:			ZA 1987-7165	19870923 <--

AB The implants containing ≥1 **antimicrobial** compound and a carrier are injected as a solid or semisolid under the skin for protection of animals against infections. An implant contained oxytetracycline-HCl 50, PVP 5, and Witepsol H12 (hard fat) 45%. Implants were placed in the dorsal fatty tissue of the sheep **ear** at a dosage rate of .apprx.6-12 mg antibiotic/kg body weight The plasma level of the antibiotic was maintained constant 2-21 days after implantation.

L21 ANSWER 17 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:427336 HCAPLUS
DOCUMENT NUMBER: 103:27336

TITLE: Oily solution for treating **otitis**
INVENTOR(S): Faur, Virginia; Kereszturi, Irina
PATENT ASSIGNEE(S): Intreprinderea de Medicamente "Biofarm", Rom.
SOURCE: Rom., 2 pp.
CODEN: RUXXA3
DOCUMENT TYPE: Patent
LANGUAGE: Romanian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RO 84025	B	19840512	RO 1982-107755	19820602 <--
PRIORITY APPLN. INFO.:			RO 1982-107755	19820602 <--

AB An oily solution for treatment of **otitis** media comprises hydrocortisone [50-23-7] (1, **anesthesin** [**94-09-7**] 4, EtOH 35, mint oil 100, and chamomile oil 60 parts.
IT **94-09-7**
RL: BIOL (Biological study)
(oily solution containing hydrocortisone and, for treatment of **otitis** media)

L21 ANSWER 18 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:612512 HCAPLUS
DOCUMENT NUMBER: 97:212512
TITLE: **Antimicrobial** and antiviral activity of some pyridine salts
AUTHOR(S): Dorofeenko, G. N.; Sadekova, E. I.; Korol'chenko, G. A.; Votyakov, V. I.; Timofeeva, M. M.; Bruskova, I. V.; Laguta, L. F.; Klimovich, V. Ya.; Simkina, Yu. N.; Shashikhina, M. N.
CORPORATE SOURCE: Nauchno-Issled. Inst. Fiz. Org. Khim., Rostov. Gos. Univ., Rostov-on Don, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (**1982**), 16(8), 920-3
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB A series of pyridine derivs. were prepared by condensation of pyridine salts with primary amines. The compds. displayed **antimicrobial** activity against both gram. pos. and gram-neg. bacteria and were also capable of inactivating animal viruses, including influenza, parainfluenza, ECHO 6, adenovirus 3, herpes, vaccinia, and Venequelan equine encephalomyelitis viruses. The antibacterial and antiviral activity was dependent on the substituents in the pyridine moiety of the mol.
IT **63-74-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with pyrylium derivs.)
IT **94-09-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with trimethylpyridyl perchlorate)

L21 ANSWER 19 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:490626 HCAPLUS
DOCUMENT NUMBER: 95:90626
TITLE: **Antimicrobial** treatment of **otitis** media: penicillins, cephalosporins, **sulfonamides**
AUTHOR(S): Parkin, James L.

CORPORATE SOURCE: Coll. Med., Univ. Utah, Salt Lake City, UT, USA
SOURCE: Otolaryngology--Head and Neck Surgery (**1981**), 89(3), 376-80
CODEN: OHNSDL; ISSN: 0194-5998
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 14 refs.

L21 ANSWER 20 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:177208 HCAPLUS
DOCUMENT NUMBER: 88:177208
TITLE: **Sulfonamide**-trimethoprim solutions
INVENTOR(S): Laemmerhirt, Klaus; Pich, Claus Hinrich; Seelert, Kurt
PATENT ASSIGNEE(S): BASF A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
DE 2631780	A1	19780119	DE 1976-2631780	19760715	<--
DE 2631780	B2	19801009			
DE 2631780	C3	19811119			
FR 2361103	A1	19780310	FR 1977-21535	19770712	<--
FR 2361103	B1	19810430			
ZA 7704215	A	19780726	ZA 1977-4215	19770714	<--
GB 1582692	A	19810114	GB 1977-29575	19770714	<--
BE 856847	A1	19780116	BE 1977-179371	19770715	<--
JP 53012416	A2	19780203	JP 1977-84344	19770715	<--

PRIORITY APPLN. INFO.: DE 1976-2631780 19760715 <--
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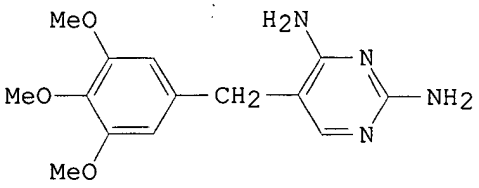

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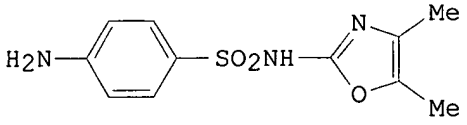

III

AB **Antimicrobial** clear aqueous solns. of a **sulfonamide** 1-20% and trimethoprim (I) [738-70-5] 0.2-4.0% are prepared using poly(vinylpyrrolidone) (II) [9003-39-8] (d.p. 16-18; mol. weight 2-3000;) as solubilizer. II takes away the bitter taste of the active ingredients making them suitable for parenteral and also for oral administration. External applications are in the form of **ear** drops and eye lotions. For example, an injection solution comprised sulfamoxole (III)

[729-99-7] 4.0, I 0.8, II (d.p. = 12) 30.0, Na2SO3 0.4, EtOH 10.0, propylene glycol 10.0, p-hydroxybenzoate 0.2 g and H2O to give 100 mL. The ingredients were dissolved by mixing and heating. The resulting solution was filtered aseptically and filled into ampuls.

L21 ANSWER 21 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:66908 HCAPLUS
DOCUMENT NUMBER: 78:66908
TITLE: Comparative study of chemotherapeutic and pharmacological properties of **antimicrobial** preparations from common St. John's wort
AUTHOR(S): Negrash, A. K.; Pochinok, P. Ya.
CORPORATE SOURCE: Inst. Mikrobiol. Virusol., Kiev, USSR
SOURCE: Fitontsidy, Mater. Soveshch., 6th (**1972**), Meeting Date 1969, 198-200. Editor(s): Aizenman, B. E. "Naukova Dumka": Kiev, USSR. CODEN: 25ZQA2
DOCUMENT TYPE: Conference
LANGUAGE: Russian
AB Of several antibiotics from St. John's wort (Hypericum perforatum), novoimanine [11004-82-3] (0.25% aqueous alc. solution) was the most effective topically against local infections of Staphylococcus aureus in mice. Water-soluble imanine [11113-64-7] was more effective against S. aureus than was imanine or sulfonilamide [**63-74-1**]. Imanine and water-soluble imanine both caused cardiac systolic arrest at a dilution of 1:1 .tim. 10-5 when perfused through the isolated frog heart. Injection of 50 mg imanine/kg, i..v., into rabbits decreased the blood pressure and somewhat increased the frequency and depth of breathing. The same dose of water-soluble imanine caused a greater and more prolonged decrease in blood pressure than did imanine, but had approx. the same effect on breathing. In the isolated rabbit **ear**, imanine was a more effective vasoconstrictor than water-soluble imanine at a dilution of 1:1000. Higher dilns. had no effect. The hypotensive action of imanine cannot be explained by its direct effect on the vasculature.
IT **63-74-1**
RL: BIOL (Biological study)
(Staphylococcus aureus infection treatment by imanine in relation to)

L21 ANSWER 22 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1953:10137 HCAPLUS
DOCUMENT NUMBER: 47:10137
ORIGINAL REFERENCE NO.: 47:1845g-i
TITLE: The use of surface **anesthetics** dissolved in propylene glycol on tympanum. I. Significance of propylene glycol to the sensitivity of the mucous membrane of the external auditory canal of the guinea pig
AUTHOR(S): Yamashita, Shigeru
CORPORATE SOURCE: Nagasaki Univ. School Med.
SOURCE: Folia Pharmacol. Japon (**1951**), 47(No. 3/4), 108-14; Breviaria 8 (in English)
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB **Anesthetics** to be used on tympanum were studied. After application of local **anesthetics** dissolved in propylene glycol (I) on the mucous membrane, a uniform mech. stimulus was applied thereon and the mode of response was studied by the time interval between the initiation and disappearance of the response. I had no surface **anesthetic** effect. Above certain concns. nupercaine (II)-HCl, cocaine-HCl, **anesthesine**, phenol, and menthol dissolved in I

exerted local **anesthetic** actions and the intensities were in the order named. I was more effective for potentiation of the **anesthetic** effect than glycerol, olive oil, and water except for phenol which was more effective in water than in I.

IT **94-09-7**, Benzocaine
(**anesthetic** action on tympanum, and effect of solution in propylene glycol thereon)

L21 ANSWER 23 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1950:33691 HCAPLUS
DOCUMENT NUMBER: 44:33691
ORIGINAL REFERENCE NO.: 44:6474g-i,6475a-f
TITLE: **Microbiological** study of Cryptococcus neoformans
AUTHOR(S): Schmidt, Emil G.; Alvarez-De Choudens, Jose A.; McElvain, Norma F.; Beardsley, Jane; Tawab, Salah A. A.
CORPORATE SOURCE: School of Med., Univ. of Maryland, Baltimore
SOURCE: Archives of Biochemistry (**1950**), 26, 15-24
CODEN: ARBIAE; ISSN: 0096-9621
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB The organism grows vigorously in a solution containing glucose, inorg. salts, NH3, and thiamine (I). The thiazole, but not the pyrimidine part of I, can substitute for I, as can greater amts. of oxythiamine, whether or not I is present. Neopyrithiamine neither supports nor inhibits growth in the presence of I. On C. neoformans grown in liquid medium, the most effective inhibitors found were 4-amino-2-methyl-1-naphthol-HCl (II), menadione-NaHSO3, 2-methyl-1,4-naphthalenediol diphosphate tetra-Na salt, actidione, and tomatin. Biacetyl (III), chlorothymol, dithiobiuret, and quaternary ammonium compds. were also effective. In agar medium, growth was completely inhibited by 0.005 mg./ml. concns. of II, dithiocyanoacetanilide (IV), hexachlorophene (V), Me 5-nitro-2-furoate (VI), 8-hydroxyquinoline, di-Me dichlorosuccinate, ethylhexadecyldimethylammonium bromide, and hexadecyltrimethylammonium bromide; by 0.025 mg./ml. concns. of III, pseudo-methyl acetylacrylate (VII), eschridine [4-(4-ethylcyclohexylmethyl)pyridine] (VIII), germitol (higher alkyl dimethylbenzylammonium chloride), dimite [2,2-bis(p-chlorophenyl)ethanol], 1-dodecyl-3-methyl- and 1-dodecyl-4-methylpyridinium chloride, sulfapyridine, dithiocyanoaniline, 4-thiocyano-2-nitroaniline, Me thiocyanoanthranilate, dinitrophenol, butadiene dithiocyanate, chlorothymol, bis (5-chloro-2-hydroxyphenyl)methane, benzyl p-hydroxybenzoate, hendecylenic acid, phenosafranine, methyl violet, brilliant violet, and NaN3; by 0.25 mg./ml. concns. of acridine, thymol, carvacrol, octylresorcinol, p-chlorobenzoic acid, thiocyanoacetanilide, hexamethylenetetramine thiocyanate, thiocyanoaniline, dithiobiuret, 1-naphthylamine, methacrylic acid, acetophenone oxime, isonitroso)propiophenone, **anesthesine**, [3-(myristoylamino)propyl]dimethylbenzylammonium chloride, Zn hendecylenate, 2,6-dibromoquinone chloroimide, bromothymol blue, 5-nitro-2-furfurylidene diacetate, and methyl 5-nitro-2-furfuryl ether; and by 0.25 mg./ml. concns. of furfural, hydrofuramide, AcPh, oxophenylethylamine-HCl, isophorone, p-HOBzH, p-cresol, thiocresol, vanillin, propionic acid, coumarin, epichlorohydrin, AcNHPh, PhNH2, butyn, 3-pyridinesulfonic acid, 3-indolecarboxylic acid, allylthiourea, thiourea, butylthiourea, aminophyllin, 5-nitro-2-furfuraldehyde semicarbazone, diaminoacridine sulfate, neutral red, methylene blue, HSCH2COOH, N-(2-chlorophenyl)phthalamic acid, 1-naphthalamic acid, 2,4-dichlorophenoxyacetic acid, di"coco"dimethylammonium chloride,

di(hydrogenated tallow)dimethylammonium chloride, 7-hydroxy-4-methylcoumarin, p-[bis(carboxymethylmercapto)arsino] **benzenesulfonamide**, and p-[bis(carboxymethylmercapto)arsino]benzam ide. A large number of other compds. tested were found to be inactive. Daily injection of approx. 1/3 of the LD 50 of II-VIII and mapharsen into mice did not influence the symptoms or progress of exptl. torulosis.

IT **94-09-7**, Benzocaine
(effect on growth of Cryptococcus neoformans)

L21 ANSWER 24 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1920:6275 HCAPLUS
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TITLE: Comparative toxicity of local **anesthetics** and of antipyretics for **earthworms**
AUTHOR(S): Sollmann, Torald
CORPORATE SOURCE: Western Reserve Univ.
SOURCE: J. Pharmacol. (**1919**), 14, 319-22
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The toxic (fatal) concns. of local **anesthetics** for **earthworms** was determined as follows: cycloform 1 in 50,000; apothesin and holocaine, 1 in 25,000; **anesthesine**, cocaine, orthoform-new, procaine and propaesin, 1 in 10,000; nirvanine, 1 in 7,500; β-eucaine, 1 in 5,000; alypine, 1 in 1,000. Comparisons are made with the values found for cats. Preliminary reports are also given for tadpoles. The toxicity of antipyretics for **earthworms** is as follows: phenacetin, 1 in 50,000; salicylic acid, 1 in 10,000; cincophen, 1 in 10,000; acetanilide and acetylsalicylic acid, 1 in 5,000; antipyrine and Na salicylate, 1 in 1,000; pyramidone, 1 in 500; melubrin, 1 in 100. These results are not parallel to the toxicity for mammals, since the mechanism of the fatal effect is different.

IT **94-09-7**, Benzocaine
(toxicity for **earthworms**)